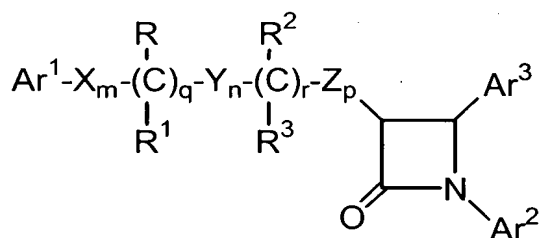


THEREFORE, WE CLAIM:

1. A method of treating or preventing demyelination in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

2. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



(I)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

Ar^1 and Ar^2 are independently selected from the group consisting of aryl and R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X, Y and Z are independently selected from the group consisting of $-CH_2-$, $-CH(\text{lower alkyl})-$ and $-C(\text{dilower alkyl})-$;

R and R^2 are independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$ and $-O(CO)NR^6R^7$;

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

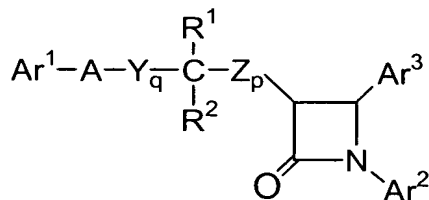
R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$, $-CH=CH-COOR^6$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}-COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, $-(\text{lower alkylene})COOR^6$ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl.

3. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar^1 is R^3 -substituted aryl;

Ar^2 is R^4 -substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-,
-CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

5 R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and
-O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and
aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

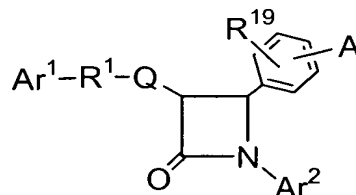
10 R⁵ is 1-3 substituents independently selected from the group consisting of
-OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷,
-NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -
COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)<sub>1-
10</sub>CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-
15 COOR⁶, and
-CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the
group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

20 R⁶, R⁷ and R⁸ are independently selected from the group consisting of
hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

4. The method according to claim 1, wherein the at least one sterol
absorption inhibitor is represented by Formula (IV):



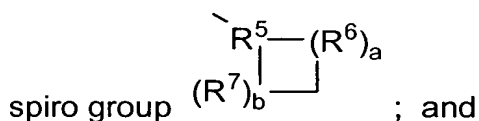
or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R¹ is selected from the group consisting of:

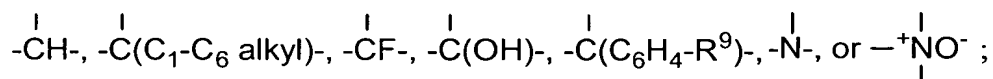
-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

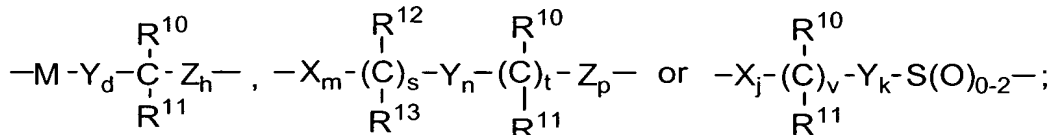


R⁶ and R⁷ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R⁵ together with an adjacent R⁶, or R⁵ together with an adjacent R⁷, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R⁶ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R⁷ is

-CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R⁶'s can be the same or different; and provided that when b is 2 or 3, the R⁷'s can be the same or different;

and when Q is a bond, R¹ also can be selected from:



where M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆ alkyl));

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or R¹² and R¹³ together are =O;

d is 1, 2 or 3;

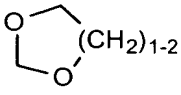
h is 0, 1, 2, 3 or 4;

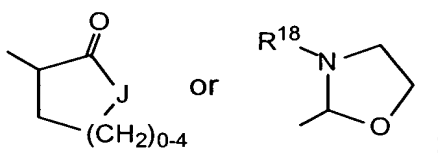
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁶, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a

substituent on a heterocycloalkyl ring, R^2 is as defined, or is =O or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₆CONR¹⁸R¹⁸,



wherein J is -O-, -NH-, -NR¹⁸ - or -CH₂-;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆ alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R^8 is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

15 R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

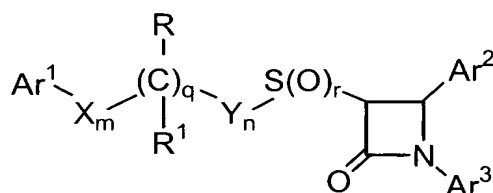
R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

20 R^{16} is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R^{18} is hydrogen or (C₁-C₆)alkyl; and

R^{19} is hydrogen, hydroxy or (C₁-C₆)alkoxy.

5. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (V):



(V)

5 or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar¹ is aryl, R¹⁰-substituted aryl or heteroaryl;

Ar² is aryl or R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

10 X and Y are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ or -O(CO)NR⁶R⁷; R¹ is hydrogen, lower alkyl or aryl; or R and R¹ together are =O;

q is 0 or 1;

15 r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷,
20 -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,
-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
-O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁵ is 1-5 substituents independently selected from the group consisting of
-OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷,
25 -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -
SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂,
halogen,

-(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

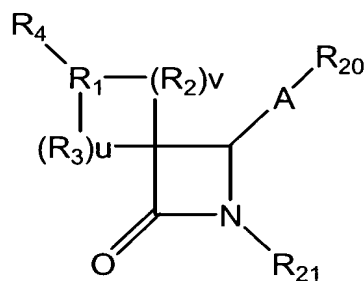
R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and

5 R¹⁰ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂ and halogen.

10

6. The method according to claim 1, where the at least one sterol absorption inhibitor is represented by Formula (VI):



15

(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R₁ is

$\overset{|}{-}\text{CH}-$, $\overset{|}{-}\text{C}(\text{lower alkyl})-$, $\overset{|}{-}\text{CF}-$, $\overset{|}{-}\text{C}(\text{OH})-$, $\overset{|}{-}\text{C}(\text{C}_6\text{H}_5)-$, $\overset{|}{-}\text{C}(\text{C}_6\text{H}_4-\text{R}_{15})-$,
 $\overset{|}{-}\text{N}-$ or $\overset{|}{-}\overset{+}{\text{N}}\text{O}^-$;

20

R₂ and R₃ are independently selected from the group consisting of:
-CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or
R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
-CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R₃ is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH₂)_e-Z-(CH₂)_r-, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)₀₋₂-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C₂-C₆ alkenylene)-;

B-(C₄-C₆ alkadienylene)-;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

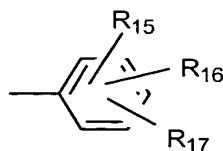
B-(CH₂)_t-V-(C₂-C₆ alkenylene)- or

B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group $B-\text{CH}=\overset{\text{I}}{\text{C}}-$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyacylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl
5 lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₀₋₂R₈, tert-butyl-
10 butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-, N(R₈)(R₉)C(O)(lower

alkyleneoxy)- and
15 for substitution on ring carbon atoms, and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

20 R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

25 , -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

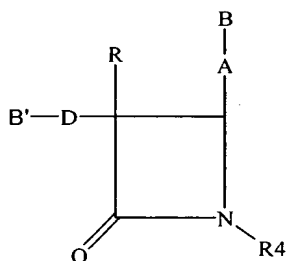
R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with
25 adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

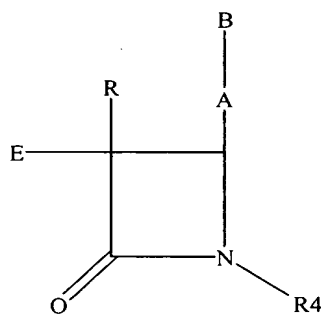
R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

7. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIIA) or (VIIB):



(VIIA)

or

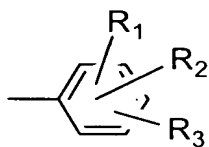


(VIIB)

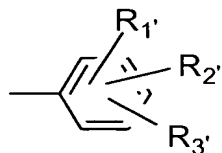
or a pharmaceutically acceptable salt or solvate thereof, wherein:

A is -CH=CH-, -C≡C- or -(CH₂)_p- wherein p is 0, 1 or 2;

B is



B' is



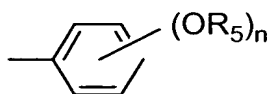
D is $-(\text{CH}_2)_m\text{C}(\text{O})-$ or $-(\text{CH}_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C_{10} to C_{20} alkyl or $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

5 R is hydrogen, $\text{C}_1\text{-C}_{15}$ alkyl, straight or branched, saturated or containing one or more double bonds, or $\text{B}-(\text{CH}_2)_r-$, wherein r is 0, 1, 2, or 3;

R_1 , R_2 , R_3 , R_1' , R_2' , and R_3' are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO_2 , NH_2 , OH , halogeno, lower alkylamino, dilower alkylamino, $-\text{NHC}(\text{O})\text{OR}_5$, $\text{R}_6\text{O}_2\text{SNH-}$ and $-\text{S}(\text{O})_2\text{NH}_2$;

10 R₄ is



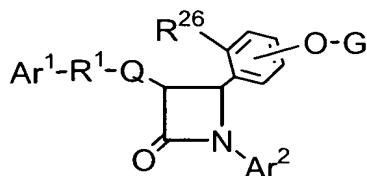
wherein n is 0, 1, 2 or 3;

R_5 is lower alkyl; and

15 R_6 is OH , lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO_2 , NH_2 , OH , halogeno, lower alkylamino and dilower alkylamino;

or a pharmaceutically acceptable salt thereof or a prodrug thereof.

20 8. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIII):

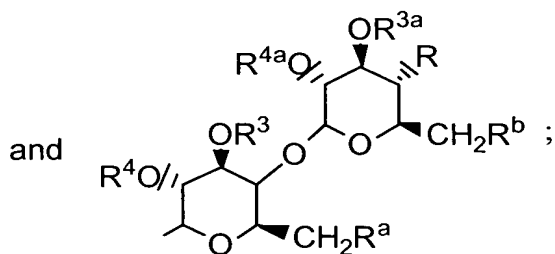
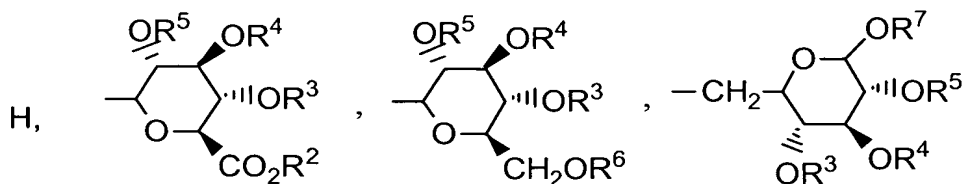


(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

R^{26} is H or OG^1 ;

G and G^1 are independently selected from the group consisting of



provided that when R^{26} is H or

5 OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

10 R^2 and R^6 are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R^3 , R^4 , R^5 , R^7 , R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

15 R^{30} is selected from the group consisting of R^{32} -substituted T, R^{32} -substituted-T-(C₁-C₆)alkyl, R^{32} -substituted-(C₂-C₄)alkenyl, R^{32} -substituted-(C₁-C₆)alkyl, R^{32} -substituted-(C₃-C₇)cycloalkyl and R^{32} -substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R^{31} is selected from the group consisting of H and (C₁-C₄)alkyl;

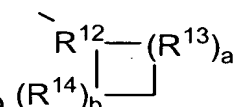
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group ; and

R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

$\overset{|}{\text{C}}\text{H}$ -, $\overset{|}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})$ -, $\overset{|}{\text{C}}\text{F}$ -, $\overset{|}{\text{C}}(\text{OH})$ -, $\overset{|}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^{23})$ -, $\overset{|}{\text{N}}$ -, or $\overset{|}{\text{N}}^+$;

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-,

-CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and
-C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an
adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

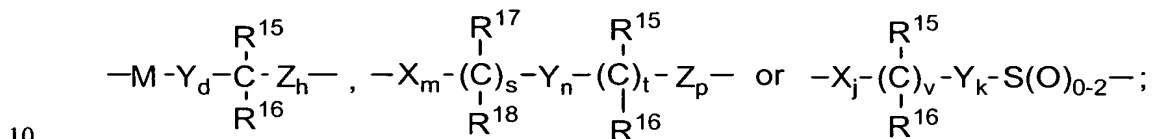
5 provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-,
-CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

15 R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3
substituents independently selected from the group consisting of
(C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹,
-O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹,
-NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹,
-SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰,
20 -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹,
-O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

25 R¹⁶ and R¹⁸ are independently selected from the group consisting of H,
(C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are
=O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided

5 that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R¹ is
$$-X_j-\overset{\overset{R^{15}}{|}}{\underset{\underset{R^{16}}{|}}{(C)_v}}-Y_k-S(O)_{0-2}-$$
, Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

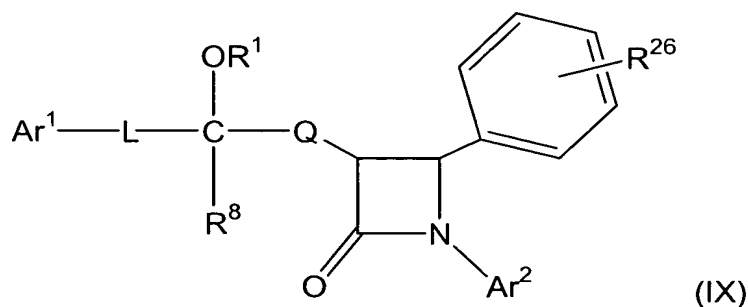
R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

15 R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

20 9. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IX):

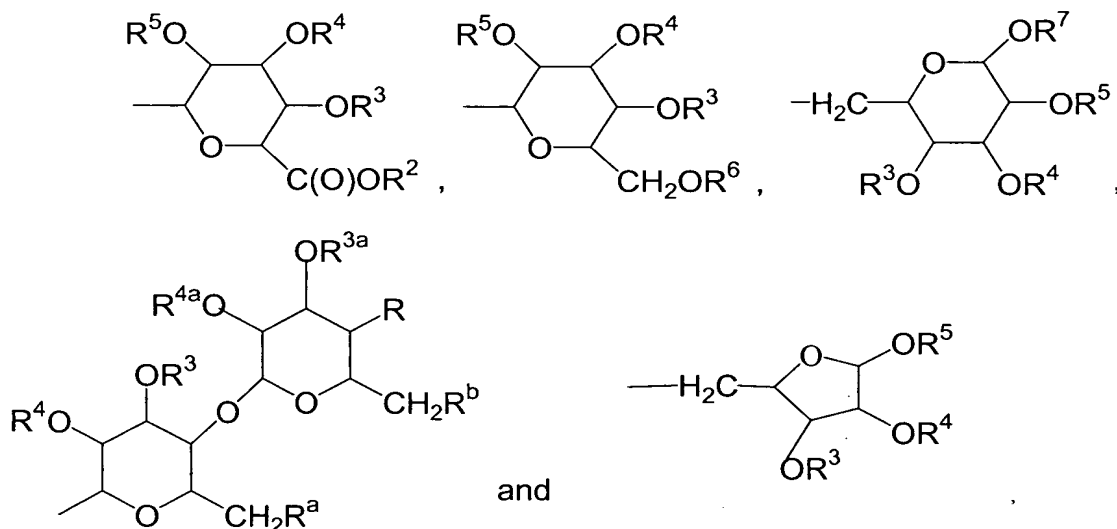


or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R¹ is selected from the group consisting of H, G, G¹, G², -SO₃H and -PO₃H;

G is selected from the group consisting of: H,

5



wherein R, R^a and R^b are each independently selected from the group consisting of H, -OH, halo, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)alkoxy or -W-R³⁰;

10 W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

15 R² and R⁶ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

20

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

5

R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

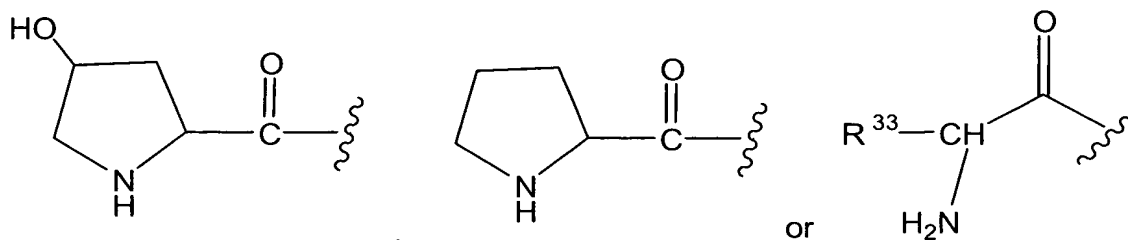
10

R³² is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

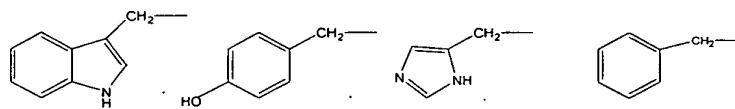
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20

G¹ is represented by the structure:



wherein R³³ is independently selected from the group consisting of unsubstituted alkyl, R³⁴-substituted alkyl, (R³⁵)(R³⁶)alkyl-,

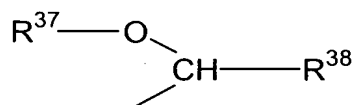


R³⁴ is one to three substituents, each R³⁴ being independently selected from the group consisting of HOOC-, HO-, HS-, (CH₃)S-, H₂N-, (NH₂)(NH)C(NH)-, (NH₂)C(O)- and HOOCCH(NH₃⁺)CH₂SS-;

R³⁵ is independently selected from the group consisting of H and NH₂-;

R³⁶ is independently selected from the group consisting of H, unsubstituted alkyl, R³⁴-substituted alkyl, unsubstituted cycloalkyl and R³⁴-substituted cycloalkyl;

G² is represented by the structure:



wherein R³⁷ and R³⁸ are each independently selected from the group consisting of (C₁-C₆)alkyl and aryl;

R²⁶ is one to five substituents, each R²⁶ being independently selected from the group consisting of:

- a) H;
- b) -OH;
- c) -OCH₃;
- d) fluorine;
- e) chlorine;
- f) -O-G;
- g) -O-G¹;
- h) -O-G²;
- i) -SO₃H; and
- j) -PO₃H;

provided that when R¹ is H, R²⁶ is not H, -OH, -OCH₃ or -O-G;

Ar¹ is aryl, R¹⁰-substituted aryl, heteroaryl or R¹⁰-substituted heteroaryl;

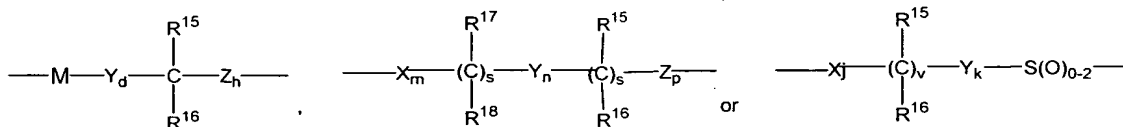
Ar² is aryl, R¹¹-substituted aryl, heteroaryl or R¹¹-substituted heteroaryl;

5

L is selected from the group consisting of:

- a) a covalent bond;
- b) $-(CH_2)_q-$, wherein q is 1-6;
- c) $-(CH_2)_e-E-(CH_2)_r-$, wherein E is $-O-$, $-C(O)-$, phenylene, $-NR^{22}-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) $-(C_2-C_6)alkenylene-$;
- e) $-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)

10



15

wherein M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6)alkyl-$ and $-C(di-(C_1-C_6)alkyl)-$;

20

R⁸ is selected from the group consisting of H and alkyl;

R¹⁰ and R¹¹ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C₁-C₆)alkyl, $-OR^{19}$, $-O(CO)R^{19}$, $-O(CO)OR^{21}$, $-O(CH_2)_{1-5}OR^{19}$, $-O(CO)NR^{19}R^{20}$, $-NR^{19}R^{20}$, $-NR^{19}(CO)R^{20}$, $-NR^{19}(CO)OR^{21}$,

25

-NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -
SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-
C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halo;

5 R¹⁵ and R¹⁷ are each independently selected from the group consisting of
-OR¹⁹, -OC(O)R¹⁹, -OC(O)OR²¹, -OC(O)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are each independently selected from the group consisting of H,
10 (C₁-C₆)alkyl and aryl;

or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

15 s is 0 or 1;

t is 0 or 1;

m, n and p are each independently selected from 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

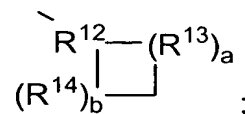
provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that

20 when p is 0 and s is 1, the sum of m, t and n is 1-5; --

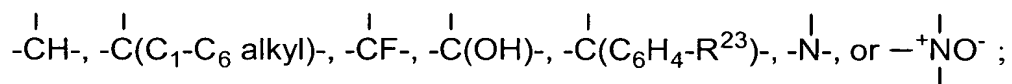
v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

25 Q is a bond, -(CH₂)_q-, wherein q is 1-6, or, with the 3-position ring carbon of
the azetidinone, forms the spiro group



wherein R¹² is

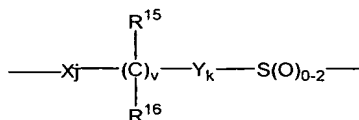


R¹³ and R¹⁴ are each independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or
5 R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero;
provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that
10 when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3,
the R¹³'s can be the same or different; and provided that when b is 2 or 3, the R¹⁴'s
can be the same or different;

and when Q is a bond and L is

15



then Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl,
thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

20

R¹⁹ and R²⁰ are each independently selected from the group consisting of H,
(C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

25

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halo; and

5 R²⁵ is H, -OH or (C₁-C₆)alkoxy.

10 10. The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

11. The method according to claim 1, further comprising the step of administering at least one antidemyelination agent to the subject.

15 12. The method according to claim 11, wherein the antidemyelination agent is selected from the group consisting of beta interferon, glatiramer acetate and corticosteroids.

20 13. The method according to claim 1, further comprising the step of administering at least one HMG CoA reductase inhibitor to the subject.

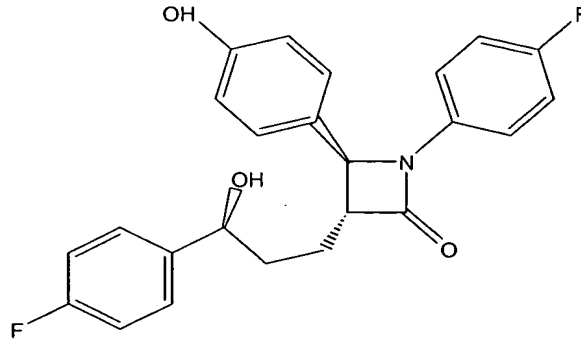
14. The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

25 15. The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

16. The method according to claim 1, wherein the subject has multiple sclerosis.

30 17. A method of treating or preventing demyelination in a subject is provided, comprising the step of administering to a subject in need of such treatment

an effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:



(II)

5 or a pharmaceutically acceptable salt or solvate thereof.

18. A method of treating or preventing multiple sclerosis in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

19. A composition comprising: (a) at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof and (b) at least one antidemyelination agent.

20. A therapeutic combination comprising: (a) a first amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof; and (b) a second amount of at least one antidemyelination agent, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of demyelination in a subject.